```
=> d his

     (FILE 'HOME' ENTERED AT 13:44:48 ON 05 FEB 2005)

     FILE 'CAPLUS' ENTERED AT 13:44:57 ON 05 FEB 2005

     FILE 'REGISTRY' ENTERED AT 13:45:01 ON 05 FEB 2005
L1             STRUCTURE UPLOADED
L2           0 S L1
L3             STRUCTURE UPLOADED
L4           3 S L3
L5          71 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 13:47:43 ON 05 FEB 2005
L6           6 S L5

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L1             STR
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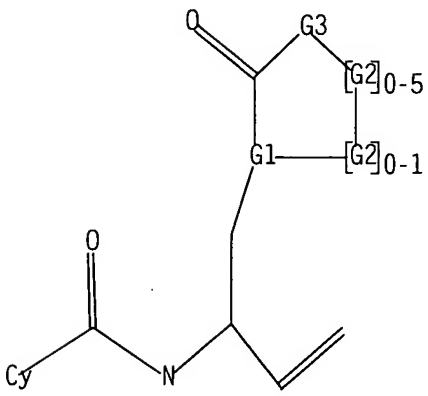


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G1 C,N
G2 C,O,S,N
G3 C,O,N

Structure attributes must be viewed using STN Express query preparation.

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L3             STR
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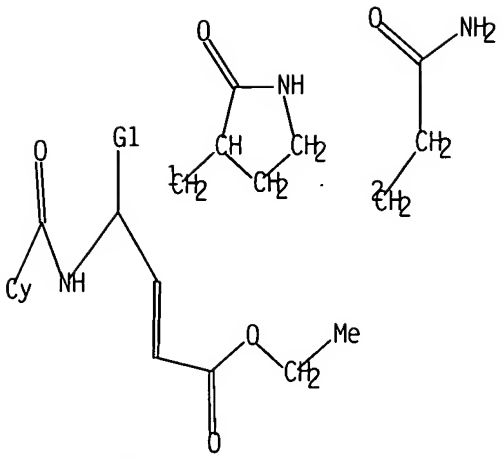

G1 [@1].[@2]

Structure attributes must be viewed using STN Express query preparation.
L5 71 SEA FILE=REGISTRY SSS FUL L3
L6 6 SEA FILE=CAPLUS ABB=ON PLU=ON L5

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L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927041 CAPLUS
DOCUMENT NUMBER: 141:388624
TITLE: Methods and coppositions for inhibiting SARS-related coronavirus replication by using inhibitors of SARS related coronavirus 3C proteinase
INVENTOR(S): Fuhrman, Sheila Ann; Matthews, David Allan; Patick, Amy Karen; Rejto, Paul Abraham
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

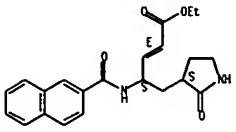
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004093860	A1	20041104	WO 2004-IB1307	20040413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004235952	A1	20041125	US 2004-833695	20040427
PRIORITY APPLN. INFO.:			US 2003-464556P	P 20030421
			US 2003-468197P	P 20030505
			US 2003-468504P	P 20030506
			US 2003-469065P	P 20030507

ABSTRACT:
The present invention relates to methods for inhibiting SARS-related coronavirus viral replication activity comprising contacting a SARS-related coronavirus 3C protease with a therapeutically effective amount of a rhinovirus protease inhibitor, and coopns. comprising the same. Specifically, the inhibitor is administered orally, i.v. or by inhalation. The pharmaceutical coopposition for the treatment of SARS related coronavirus in a mammal comprises a pharmaceutically acceptable carrier.

IT 380606-43-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of SARS-related coronavirus replication by using inhibitors of SARS related coronavirus 3C proteinase)
RN 380606-43-9 CAPLUS

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pentenoic acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

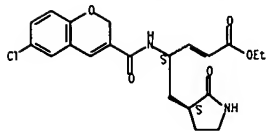
L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:272301 CAPLUS
DOCUMENT NUMBER: 137:27820
TITLE: Structure-Based Design of a Parallel Synthetic Array Directed Toward the Discovery of Irreversible Inhibitors of Human Rhinovirus 3C Protease
AUTHOR(S): Johnson, Theodore O.; Hua, Ye; Luu, Hiep T.; Brown, Edward L.; Chan, Fora; Chu, Shao Song; Dragovich, Peter S.; Eastman, Brian W.; Ferre, Rose Ann; Fuhrman, Sheila A.; Hendrickson, Thomas F.; Maldonado, Fausto C.; Matthews, David A.; Meador, James W., III; Patick, Amy K.; Reich, Siegfried H.; Skalitzky, Donald J.; Worland, Stephen T.; Yang, Michelle; Zalman, Leora S.
CORPORATE SOURCE: Pfizer Global R&D-La Jolla/Agouron Pharmaceuticals Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(10), 2016-2023
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

ABSTRACT:
Utilizing the tools of parallel synthesis and structure-based design, a new class of Michael acceptor-containing, irreversible inhibitors of human rhinovirus 3C protease (HRV 3CP) was discovered. These inhibitors are shown to inhibit HRV-14 3CP with rates of inactivation ranging from 886 to 31 400 M-1 sec-1. These inhibitors exhibit antiviral activity when tested against HRV-14 infected H1-HeLa cells, with EC50 values ranging from 1.94 to 0.15 μM. No cytotoxicity was observed at the limits of the assay concentration. A crystal structure of one of the more potent inhibitors covalently bound to HRV-2 3CP is detailed. These compds. were also tested against HRV serotypes other than type 14 and were found to have highly variable activities.

IT 436085-18-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-based design of parallel synthetic array directed toward discovery of irreversible inhibitors of human rhinovirus 3C protease)
RN 436085-18-6 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-chloro-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

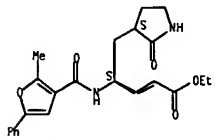
Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



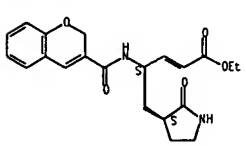
IT 436085-16-4P 436085-17-5P 436085-19-7P
436085-20-0P 436085-21-1P 436085-22-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-based design of parallel synthetic array directed toward discovery of irreversible inhibitors of human rhinovirus 3C protease)
RN 436085-16-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(2-methyl-5-phenyl-3-furanyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



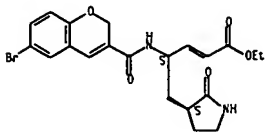
RN 436085-17-5 CAPLUS
CN 2-Pentenoic acid, 4-[(2H-1-benzopyran-3-ylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



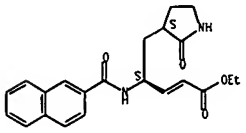
L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 436085-19-7 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-bromo-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 436085-20-0 CAPLUS
CN 2-Pentenoic acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

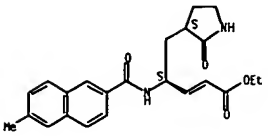
Absolute stereochemistry.
Double bond geometry unknown.



RN 436085-21-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-methyl-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

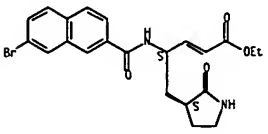
Absolute stereochemistry.
Double bond geometry unknown.

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 436085-22-2 CAPLUS
CN 2-Pentenoic acid, 4-[[(7-bromo-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:136907 CAPLUS
DOCUMENT NUMBER: 137:6380
TITLE: Structure-based design, synthesis, and biological evaluation of irreversible human rhinovirus 3C protease inhibitors. Part 7: structure-activity studies of bicyclic 2-pyridone-containing peptidomimetics
AUTHOR(S): Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru; Johnson, Theodore O.; Brown, Edward L.; Maldonado, Fausto C.; Fuhrman, Sheila A.; Zalman, Leora S.; Patick, Amy K.; Matthews, David A.; Hou, Xinjun; Meador, James W.; Ferre, Rose Ann; Worland, Stephen T.
CORPORATE SOURCE: Pfizer Global Research and Development-La Jolla/Agouron Pharmaceuticals, Inc., San Diego, CA, 92121-1111, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(5), 733-738
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:6380
GRAPHIC IMAGE:

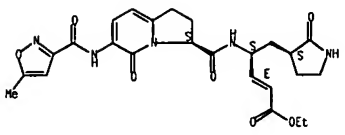
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:
The structure-based design, chemical synthesis, and biol. evaluation of bicyclic 2-pyridone-containing human rhinovirus (HRV) 3C protease (3CP) inhibitors I, II and III (Cbz = benzyloxycarbonyl) are described. An optimized compound is shown to exhibit antiviral activity when tested against a variety of HRV serotypes (EC50's ranging from 0.037 to 0.162 μM).

IT 343565-96-8P 343566-00-7P 433333-95-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral activity of pyridone-containing peptidomimetics as irreversible human rhinovirus 3C protease inhibitors)
RN 343565-96-8 CAPLUS
CN 2-Pentenoic acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[(3S)-1,2,3,5-tetrahydro-6-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizinyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

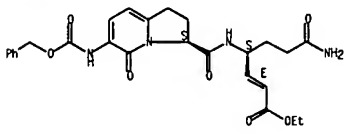
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



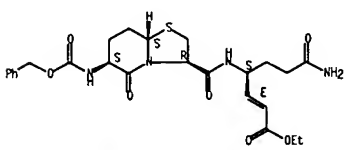
RN 343566-00-7 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[[(3S)-1,2,3,5-tetrahydro-5-oxo-6-[[(phenylmethoxy)carbonyl]amino]-3-indolizinyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 433333-95-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(3R,6S,8aS)-hexahydro-5-oxo-6-[[(phenylmethoxy)carbonyl]amino]-5H-thiazolo[3,2-a]pyridin-3-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

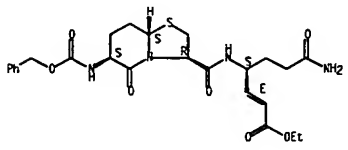
Absolute stereochemistry.
Double bond geometry as shown.



IT 433333-95-0DP, resin-bound 433333-97-2DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of pyridone-containing peptidomimetics as

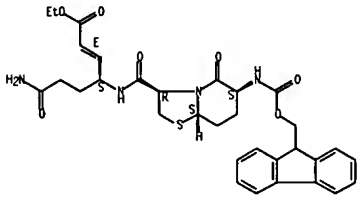
L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
irreversible human rhinovirus 3C protease inhibitors)
RN 433333-95-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(3R,6S,8aS)-hexahydro-5-oxo-6-[[(phenylmethoxy)carbonyl]amino]-5H-thiazolo[3,2-a]pyridin-3-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



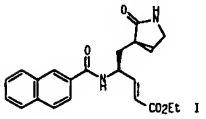
RN 433333-97-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(3R,6S,8aS)-6-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]hexahydro-5-oxo-5H-thiazolo[3,2-a]pyridin-3-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



ABSTRACT:
RaCONRbCHRcCRd:Z1Z [Ra = cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Rc = heterocyclyl; Rd = H, halo, OH, etc.; Rb = H, alkyl; Z, Z1 = H, F, alkyl, aryl, etc.], which inhibit or block the biol. activity of the picornaviral 3C protease, were prepared E.g., reaction of 4S-5-(2-oxopyrrolidin-3S-yl)pent-2-enoic acid Et ester with 2-naphthoic acid gave 41% pyrrolidinylpentenoate I.

IT 380606-43-9P 380606-44-0P 380606-46-2P
380606-48-4P 380606-49-5P 380606-50-8P
380606-52-0P 380606-55-3P 380606-56-4P
380606-60-0P 380606-61-1P 380606-62-2P
380606-63-3P 380606-64-4P 380606-67-7P
380606-68-8P 380606-69-9P 380606-70-2P
380606-73-5P 380606-74-6P 380606-75-7P
380606-76-8P 380606-77-9P 380606-78-0P
380606-79-1P 380606-80-4P 380606-81-5P
380606-82-6P 380606-83-7P 380606-84-8P
380606-85-9P 380606-86-0P 380606-87-1P
380606-88-2P 380606-89-3P 380606-93-9P
380606-94-0P 380606-95-1P 380606-96-2P
380607-07-8P 380607-08-9P 380607-09-0P
380607-10-3P 380607-11-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinylpentenoate derivs. and carbamoylhexenoate derivs. as antipicornaviral compds.)
RN 380606-43-9 CAPLUS
CN 2-Pentenoic acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

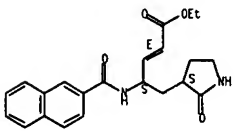
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:923759 CAPLUS
DOCUMENT NUMBER: 136:37504
TITLE: Preparation of pyrrolidinylpentenoate derivatives and carbamoylhexenoate derivatives as antipicornaviral compounds
INVENTOR(S): Johnson, Theodore O., Jr.; Chu, Shao Song; Hua, Ye; Luu, Hiep T.; Reich, Siegfried Heinz; Skalitzky, Donald James; Yang, Yi; Chan, Fora P.; Eastman, Brian Walter; Hendrickson, Thomas F.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096297	A2	20011220	WO 2001-US19139	20010613
WO 2001096297	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412618	AA	20011220	CA 2001-2412618	20010613
US 2002061916	A1	20020523	US 2001-882345	20010613
US 6632825	B2	20031014		
BR 2001011727	A	20030527	BR 2001-11727	20010613
EP 1355878	A2	20031029	EP 2001-944519	20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004503533	T2	20040205	JP 2002-510441	20010613
NZ 523134	A	20040827	NZ 2001-523134	20010613
ZA 2003000286	A	20040408	ZA 2003-286	20030110
PRIORITY APPLN. INFO.:			US 2000-211424P	P 20000614
			WO 2001-US19139	W 20010613

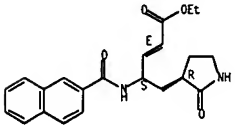
OTHER SOURCE(S): MARPAT 136:37504
GRAPHIC IMAGE:

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



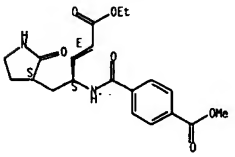
RN 380606-44-0 CAPLUS
CN 2-Pentenoic acid, 4-[(2-naphthalenylcarbonyl)amino]-5-[(3R)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-46-2 CAPLUS
CN Benzoic acid, 4-[[[(1S,2E)-4-ethoxy-4-oxo-1-[[(3S)-2-oxo-3-pyrrolidinyl]methyl]-2-butenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

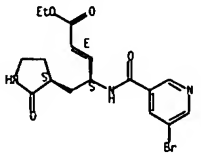
Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-48-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-bromo-3-pyridinyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

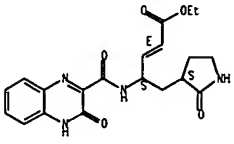
Absolute stereochemistry.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



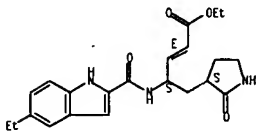
RN 380606-49-5 CAPLUS
CN 2-Pentenoic acid, 4-[[(3,4-dihydro-3-oxo-2-quinoxalinyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-50-8 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-ethyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

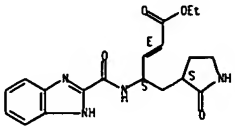
Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-52-0 CAPLUS

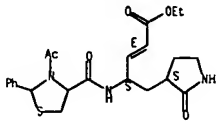
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pentenoic acid, 4-[(1H-benzimidazol-2-ylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



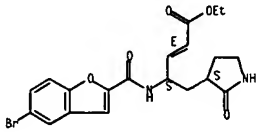
RN 380606-55-3 CAPLUS
CN 2-Pentenoic acid, 4-[[[3-acetyl-2-phenyl-4-thiazolidinyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-56-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-bromo-2-benzofuranyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

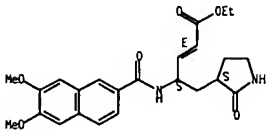
Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

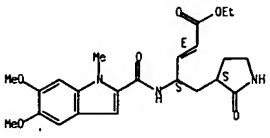
RN 380606-60-0 CAPLUS
CN 2-Pentenoic acid, 4-[[(6,7-dimethoxy-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



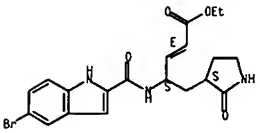
RN 380606-61-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(5,6-dimethoxy-1-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-62-2 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-bromo-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

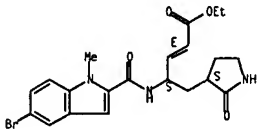
Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

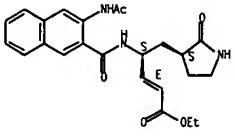
RN 380606-63-3 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-bromo-1-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



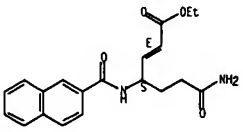
RN 380606-64-4 CAPLUS
CN 2-Pentenoic acid, 4-[[[3-(acetylamino)-2-naphthalenyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



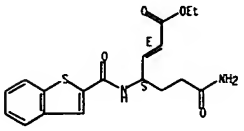
RN 380606-67-7 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[(2-naphthalenylcarbonyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



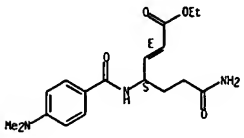
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 380606-68-8 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[(benzo[b]thien-2-ylcarbonyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-69-9 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[4-(dimethylamino)benzoyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

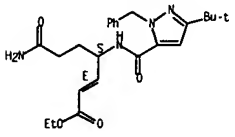


RN 380606-70-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[(2-quinoxalinylcarbonyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

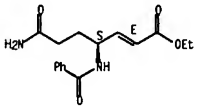
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



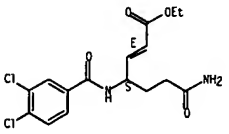
RN 380606-76-8 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-(benzoylamino)-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



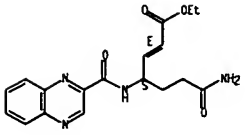
RN 380606-77-9 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[(3,4-dichlorobenzoyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



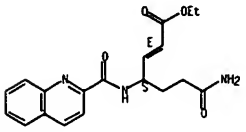
RN 380606-78-0 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[2-[(benzoyloxy)methyl]benzoyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



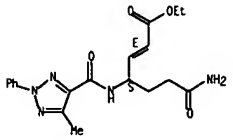
RN 380606-73-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[(2-quinolinylcarbonyl)amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



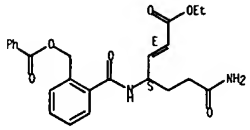
RN 380606-74-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



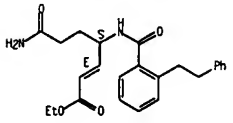
RN 380606-75-7 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[3-(1,1-dimethylethyl)-1-(phenylmethyl)-1H-pyrazol-5-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.



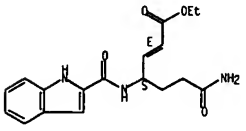
RN 380606-79-1 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[2-(2-phenylethyl)benzoyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-80-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[(1H-indol-2-ylcarbonyl)amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

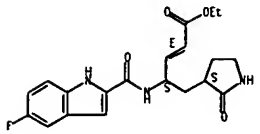
Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-81-5 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

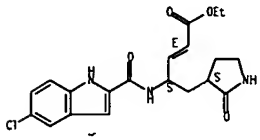
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



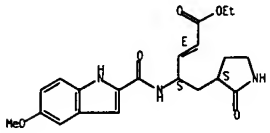
RN 380606-82-6 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-83-7 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-methoxy-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

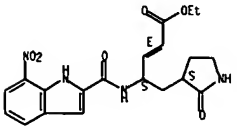
Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-84-8 CAPLUS
CN 2-Pentenoic acid, 4-[[(7-nitro-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

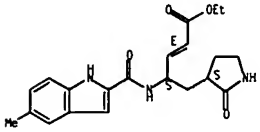
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry as shown.



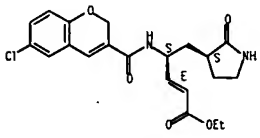
RN 380606-85-9 CAPLUS
CN 2-Pentenoic acid, 4-[[(5-methyl-1H-indol-2-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-86-0 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-chloro-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

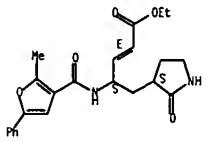
Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

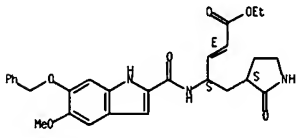
RN 380606-87-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(2-methyl-5-phenyl-3-furanyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



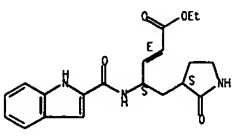
RN 380606-88-2 CAPLUS
CN 2-Pentenoic acid, 4-[[[5-methoxy-6-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-89-3 CAPLUS
CN 2-Pentenoic acid, 4-[(1H-indol-2-ylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

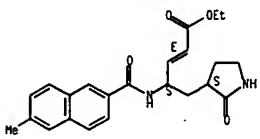
Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

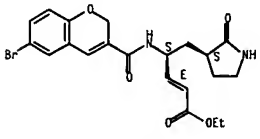
RN 380606-93-9 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-methyl-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



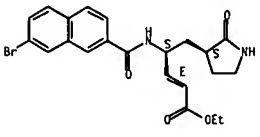
RN 380606-94-0 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-bromo-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-95-1 CAPLUS
CN 2-Pentenoic acid, 4-[[(7-bromo-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

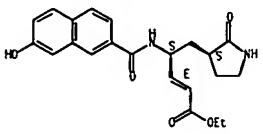
Absolute stereochemistry.
Double bond geometry as shown.



RN 380606-96-2 CAPLUS

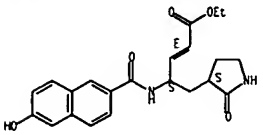
L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pentenoic acid, 4-[[(7-hydroxy-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380607-07-8 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-hydroxy-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

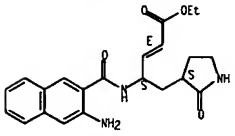


RN 380607-08-9 CAPLUS
CN 2-Pentenoic acid, 4-[[(6-bromo-7-methyl-2H-1-benzopyran-3-yl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)-(9CI) (CA INDEX NAME)

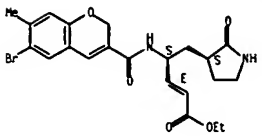
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

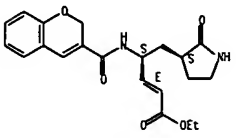


L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



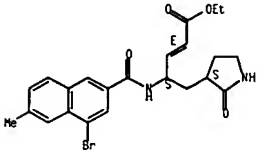
RN 380607-09-0 CAPLUS
CN 2-Pentenoic acid, 4-[(2H-1-benzopyran-3-ylcarbonyl)amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380607-10-3 CAPLUS
CN 2-Pentenoic acid, 4-[[(4-bromo-6-methyl-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 380607-11-4 CAPLUS
CN 2-Pentenoic acid, 4-[[(3-amino-2-naphthalenyl)carbonyl]amino]-5-[(3S)-2-

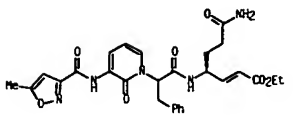
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:416905 CAPLUS
DOCUMENT NUMBER: 135:33649
TITLE: Preparation of pyridonylacetamide peptide analogs as antipicornaviral agents.
INVENTOR(S): Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru; Johnson, Theodore O., Jr.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040189	A1	20010607	WO 2000-US32621	20001201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2392504	AA	20010607	CA 2000-2392504	20001201
US 2001047006	A1	20011129	US 2000-726376	20001201
US 6514997	B2	20030204		
BR 2000016742	A	20020903	BR 2000-16742	20001201
EP 1252145	A1	20021030	EP 2000-980893	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003515591	T2	20030507	JP 2001-541874	20001201
EE 200200281	A	20030616	EE 2002-281	20001201
NZ 518934	A	20031128	NZ 2000-518934	20001201
AU 777943	B2	20041104	AU 2001-18094	20001201
ZA 2002004335	A	20030405	ZA 2002-4335	20020530
BG 106754	A	20030731	BG 2002-106754	20020530
NO 2002002589	A	20020731	NO 2002-2589	20020531
PRIORITY APPLN. INFO.:			US 1999-168986P	P 19991203
			US 2000-192052P	P 20000324
			WO 2000-US32621	W 20001201

OTHER SOURCE(S): MARPAT 135:33649
GRAPHIC IMAGE:

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

ABSTRACT:
RaCORRcCRbRcCRd:CZZ1 [Ra = (substituted) heterocycloalkyl, heterocycloalkylalkyl; Rb = specified (substituted) oxo(hetero)cyclylmethyl; Rc = H, halo, (substituted) alkyl; Rd = H, halo, OH, (substituted) alkyl, alkoxy, alkylthio; Re = H, (substituted) alkyl; Z, Z1 = H, F, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; ZRd, ZZ1 = atoms to form a cycloalkyl, heterocycloalkyl group], were prepared Thus, Et trans-(2'S,4S)-4-[2-(3-tert-butoxycarbonylamino-2-oxo-2H-pyridin-1-yl)-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate (preparation given) was heated to 190-200° for 65 min to give a residue which in MeCN at 0° was treated with 5-methylisoxazole-3-carbonyl chloride and 4-methylmorpholine followed by warming to 23° to give 55% Et trans-(2'S,4S)-4-[2-[3-[(5-methylisoxazole-3-carbonyl)amino]-2-oxo-2H-pyridin-1-yl]-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate. The latter was treated with (Me2CH)3SiH and CF3CO2H in CH2Cl2 to give 85% title compound (I). I showed an EC50 = 0.016 μM against human rhinovirus-14 in HeLa cell culture assay.

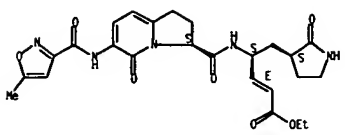
IT 343565-96-8P 343565-97-9P 343566-00-7P
343567-01-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridonylacetamide peptide analogs as antipicornaviral agents)

RN 343565-96-8 CAPLUS

CN 2-Pentenoic acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[(3S)-1,2,3,5-tetrahydro-6-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizinyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

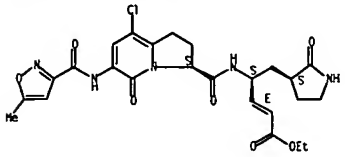
L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 343565-97-9 CAPLUS

CN 2-Pentenoic acid, 4-[[[(3S)-8-chloro-1,2,3,5-tetrahydro-6-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizinyl]carbonyl]amino]-5-[(3S)-2-oxo-3-pyrrolidinyl]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

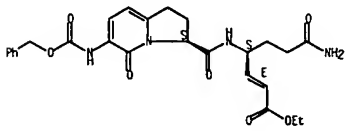
Absolute stereochemistry.
Double bond geometry as shown.



RN 343566-00-7 CAPLUS

CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[[(3S)-1,2,3,5-tetrahydro-5-oxo-6-[[(phenylmethoxy)carbonyl]amino]-3-indolizinyl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

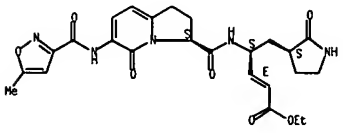
RN 343567-01-1 CAPLUS

CN 2-Pentenoic acid, 5-[(3S)-2-oxo-3-pyrrolidinyl]-4-[[[(3S)-1,2,3,5-tetrahydro-6-[[(5-methyl-3-isoxazolyl)carbonyl]amino]-5-oxo-3-indolizinyl]carbonyl]amino]-, ethyl ester, (2E,4S)-, trifluoroacetate (5:3) (9CI) (CA INDEX NAME)

CM 1

CRN 343565-96-8
CMF C25 H29 N5 O7

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:404983 CAPLUS
DOCUMENT NUMBER: 131:45107
TITLE: Preparation of peptidyl antipicornaviral compounds
INVENTOR(S): Webber, Stephen E.; Dragovich, Peter S.; Prins, Thomas J.; Littlefield, Ethel S.; Marakovits, Joseph T.; Babine, Robert E.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931122	A1	19990624	WO 1998-US26583	19981215
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5962487	A	19991005	US 1997-991739	19971216
CA 2312940	AA	19990624	CA 1998-2312940	19981215
AU 9918262	A1	19990705	AU 1999-18262	19981215
AU 762682	B2	20030703		
EP 1037905	A1	20000927	EP 1998-963184	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813651	A	20001003	BR 1998-13651	19981215
JP 2002508389	T2	20020319	JP 2000-539045	19981215
NO 2000003067	A	20000815	NO 2000-3067	20000615
PRIORITY APPLN. INFO.:			US 1997-991739 A	19971216
			WO 1998-US26583 W	19981215

OTHER SOURCE(S): MARPAT 131:45107

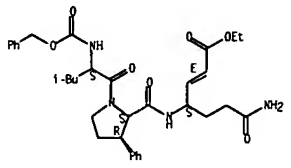
ABSTRACT:
Picornaviral 3C protease inhibitors R8R4NCR3R6C(:M)NR7CR2R5CR1:CZZ1 [M = O, S; R1 = H, F, alkyl, OH, SH, O-alkyl group; R2, R5 = H, alkyl, X-Y1-A1(B1)D1, X-Y2-A2(B2)D2 (X = :CH, :CF, CH2, CF2, CHF, S; Y1, Y2 = :CH, :CF; or X and Y1 or Y2 may form a ring; A1, A2 = C, CH, CF, S, P, Se, N, etc.; D1 and D2 are moieties with a lone pair of electrons capable of forming a hydrogen bond; B1, B2 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.), R3, R6 = H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, CHO, OH, SH, etc.; R4 is any suitable organic moiety or R4 and R3 or R6 may form a ring; R7, R8 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc. or R4 and R8 may form a ring; Z, Z1 are H, F, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.] were prepared Thus, Et 3-(Cbz-L-N-Me-Phe-L-Gln)-E-propenoate (Cbz = benzyloxycarbonyl) was prepared and showed Ki >100 μM for inhibition of Rhinovirus protease.

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 227613-08-3P 227613-09-4P 227613-10-7P
227613-11-8P 227613-13-0P 227613-14-1P
227613-15-2P 227613-17-4P 227613-18-5P
227613-19-6P 227613-27-6P 227613-40-3P
227613-41-4P 227613-42-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl antipicornaviral compds.)

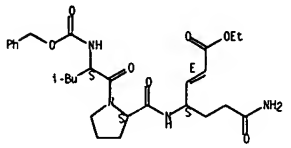
RN 227613-08-3 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-3-phenyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

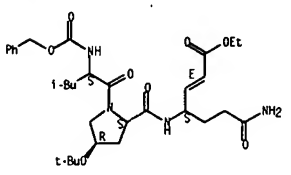


RN 227613-09-4 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

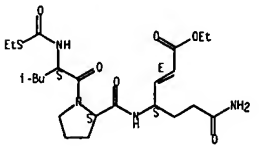


L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



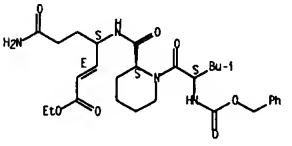
RN 227613-14-1 CAPLUS
CN L-Prolinamide, N-[(ethylthio)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-15-2 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(2S)-1-[(2S)-4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]-2-piperidinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

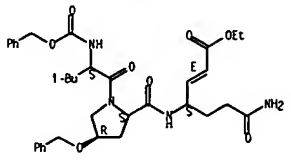
Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-17-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-

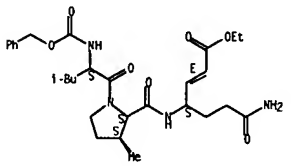
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 227613-10-7 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-11-8 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

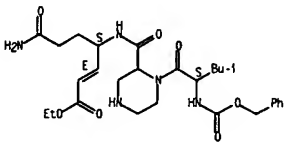


RN 227613-13-0 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-leucyl-N-[(1S,2E)-1-(3-amino-3-oxopropyl)-4-ethoxy-4-oxo-2-butenyl]-4-(1,1-dimethylethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

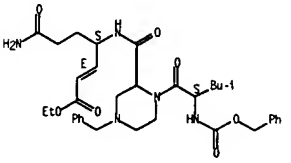
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
[[(phenylmethoxy)carbonyl]amino]pentyl]-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-18-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]-4-(phenylmethyl)-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

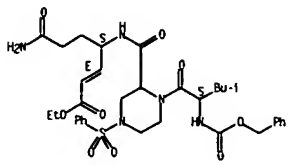
Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-19-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[1-[(2S)-4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]-4-(phenylsulfonyl)-2-piperazinyl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

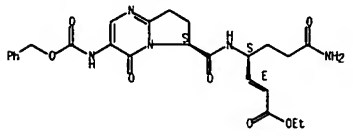
Absolute stereochemistry.
Double bond geometry as shown.

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227613-27-6 CAPLUS
CN 2-Heptenoic acid, 7-amino-7-oxo-4-[[[(6S)-4,6,7,8-tetrahydro-4-oxo-3-[[(phenylmethoxy)carbonyl]amino]pyrrolo[1,2-a]pyrimidin-6-yl]carbonyl]amino]-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

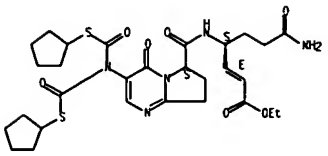


RN 227613-40-3 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[bis[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolo[1,2-a]pyrimidin-6-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

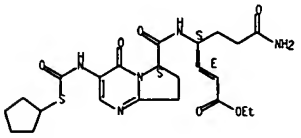
L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



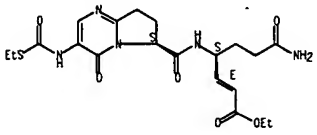
RN 227613-41-4 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[[(cyclopentylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolo[1,2-a]pyrimidin-6-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 227613-42-5 CAPLUS
CN 2-Heptenoic acid, 7-amino-4-[[[(6S)-3-[[(ethylthio)carbonyl]amino]-4,6,7,8-tetrahydro-4-oxopyrrolo[1,2-a]pyrimidin-6-yl]carbonyl]amino]-7-oxo-, ethyl ester, (2E,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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L9            3 SEA FILE=CAPLUS ABB=ON  PLU=ON  "LUU HIEP THE"/AU
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L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:923759 CAPLUS
DN 136:37504
TI Preparation of pyrrolidinylpentenoate derivatives and carbamoylhexenoate derivatives as antipicornaviral compounds
IN Johnson, Theodore O., Jr.; Chu, Shao Song; Hua, Ye; Luu, Hiep T.; Reich, Siegfried Heinz; Skalitzky, Donald James; Yang, Yi; Chan, Fora P.; Eastman, Brian Walter; Hendrickson, Thomas F.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096297	A2	20011220	WO 2001-US19139	20010613
	WO 2001096297	A3	20030710		
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	US 2002061916	A1	20020523	US 2001-882345	20010613
	US 6632825	B2	20031014		
	BR 2001011727	A	20030527	BR 2001-11727	20010613
	EP 1355878	A2	20031029	EP 2001-944519	20010613
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	JP 2004503533	T2	20040205	JP 2002-510441	20010613
	NZ 523134	A	20040827	NZ 2001-523134	20010613
	ZA 2003000286	A	20040408	ZA 2003-286	20030110
PRAI	US 2000-211424P	P	20000614		
	WO 2001-US19139	W	20010613		

OS MARPAT 136:37504
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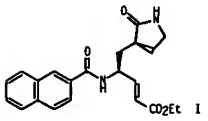
L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

AB RaCONRbCHRcCRd:Z1Z [Ra = cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Rc = heterocyclyl; Rd = H, halo, OH, etc.; Rb = H, alkyl; Z, Z1 = H, F, alkyl, aryl, etc.], which inhibit or block the biol. activity of the picornaviral 3C protease, were prepared E.g., reaction of 4S-5-(2-oxopyrrolidin-3S-yl)pent-2-enoic acid Et ester with 2-naphthoic acid gave 41% pyrrolidinylpentenoate I.

L18 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:780850 CAPLUS
DN 135:331676
TI Preparation of pyrrole-containing peptidomimetic compounds as antipicornaviral agents
IN Johnson, Theodore O., Jr.; Hua, Ye; Luu, Hiep T.; Dragovich, Peter S.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001079167	A2	20011025	WO 2001-US12333	20010412
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	US 2002006943	A1	20020117	US 2001-834783	20010412
	US 6610730	B2	20030826		
	EP 1274682	A2	20030115	EP 2001-925037	20010412
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	BR 2001010077	A	20030617	BR 2001-10077	20010412
	JP 2003531139	T2	20031021	JP 2001-576769	20010412
	ZA 2002008257	A	20030725	ZA 2002-8257	20021014
	US 2003225042	A1	20031204	US 2003-435082	20030512
PRAI	US 2000-197796P	P	20000414		
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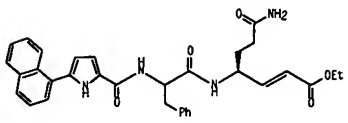
	WO 2001-US12333	W	20010412		

OS MARPAT 135:331676
GI



I

L18 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB Peptidomimetic compds. RaCON(Rb)CHRcCRd:CZZ1 [Ra is alkyl-, cycloalkyl-, aryl- or heteroarylcarbonylalkyl, alkyl-, cycloalkyl-, heterocycloalkyl-, aryl- or heteroarylcarbonylaminoalkyl or -aminocarbonylalkyl, where each alkyl, cycloalkyl, heterocycloalkyl,aryl and heteroaryl may be substituted; Rb is H or (un)substituted alkyl; Rd is H, halo, OH, (un)substituted alkyl, alkoxy or alkylthio; Rc is CReRf-A1(R)-CO-A4-(A3)p-R, where R2 = (A2)m (m = 0 or 1; R = H for m = 0); Re, Rf = H, alkyl; p = 0-5; A1 = CH or N; A2 = CRgRhRi, NRgRi, SRg, S(O)Rg, SO2Rg, O(Rg) (Rg, Rh, Ri = H or alkyl); A3 = CRgRh, NRi, S, SO, SO2, O; A4 = NRjRk, CRgRhRi, O(Rk) (Rk = H or alkyl); Z, Z1 = H, F (un)substituted alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl or CZZ1 is (hetero)cycloalkyl (with provisos)] were prepared for inhibiting or blocking the biol. activity of the picornaviral 3C protease. Thus, compound I was prepared by coupling 5-(1-naphthyl)-1H-pyrrole-2-carboxylic acid chloride (preparation given) with Phe-Gln-resin and showed Kobs/I = 30,800 M-1s-1 for inhibition of Rhinovirus 3C virus, EC50 = 0.109 μM in the anticoxsackieviral cell culture assay, and CC50 (50% cytotoxic dose) >10 μM.

L18 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:416905 CAPLUS
DN 135:33649
TI Preparation of pyridonylacetamide peptide analogs as antipicornaviral agents.
IN Dragovich, Peter S.; Prins, Thomas J.; Zhou, Ru; Johnson, Theodore O., Jr.
PA Agouron Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001040189	A1	20010607	WO 2000-US32621	20001201
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	CA 2392504	AA	20010607	CA 2000-2392504	20001201
	US 2001047006	A1	20011129	US 2000-726376	20001201
	US 6514997	B2	20030204		
	BR 2000016742	A	20020903	BR 2000-16742	20001201
	EP 1252145	A1	20021030	EP 2000-980893	20001201
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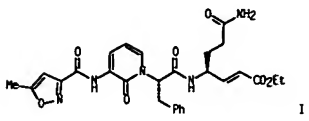
	JP 2003515591	T2	20030507	JP 2001-541874	20001201
	EE 200200281	A	20030616	EE 2002-281	20001201
	NZ 518934	A	20031128	NZ 2000-518934	20001201
	AU 777943	B2	20041104	AU 2001-18094	20001201
	ZA 2002004335	A	20030405	ZA 2002-4335	20020530
	BG 106754	A	20030731	BG 2002-106754	20020530
	NO 2002002589	A	20020731	NO 2002-2589	20020531
PRAI	US 1999-168986P	P	19991203		
	US 2000-192052P	P	20000324		
	WO 2000-US32621	W	20001201		

OS MARPAT 135:33649
GI

L18 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

AB RaCONReCRbRcCRd:CZZ1 [Ra = (substituted) heterocycloalkyl, heterocycloalkylalkyl; Rb = specified (substituted) oxo(hetero)cyclylmethyl; Rc = H, halo, (substituted) alkyl; Rd = H, halo, OH, (substituted) alkyl, alkoxy, alkylthio; Re = H, (substituted) alkyl; Z, Z1 = H, F, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; ZRd, ZZ1 = atoms to form a cycloalkyl, heterocycloalkyl group], were prepared Thus, Et trans-(2'S,4S)-4-[2-(3-tert-butoxycarbonylamino-2-oxo-2H-pyridin-1-yl)-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate (preparation given) was heated to 190-200° for 65 min to give a residue which in MeCN at 0° was treated with 5-methylisoxazole-3-carbonyl chloride and 4-methylmorpholine followed by warming to 23° to give 55% Et trans-(2'S,4S)-4-[2-[3-[(5-methylisoxazole-3-carbonyl)amino]-2-oxo-2H-pyridin-1-yl]-3-phenylpropionylamino]-6-(tritylcarbamoyl)hex-2-enoate. The latter was treated with (Me2CH)3SiH and CF3CO2H in CH2Cl2 to give 85% title compound (I). I showed an EC50 = 0.016 μM against human rhinovirus-14 in HeLa cell culture assay.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT